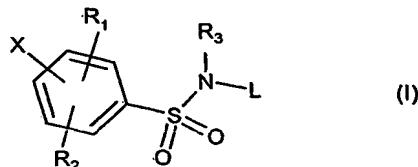


What is claimed is:

## 1. A compound of the formula



wherein

$R_1$  and  $R_2$  are independently hydrogen, halogen, hydroxy, optionally substituted alkyl, alkoxy, alkylthio, aralkyl or heteroaralkyl; or

$R_1$  and  $R_2$  combined together with the carbon atoms to which they are attached form an optionally substituted fused 5- to 6-membered aromatic or heteroaromatic ring provided that  $R_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$R_1$  and  $R_2$  combined are alkylene which together with the carbon atoms to which they are attached form a fused 5- to 7-membered ring provided that  $R_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$R_1$ -C and  $R_2$ -C may independently be replaced by nitrogen;

$R_3$  is hydrogen or optionally substituted lower alkyl;

$X$  is  $-Z-(CH_2)_p-Q-W$  wherein

$Z$  is a bond, O, S, S(O), S(O)<sub>2</sub> or  $-C(O)-$ ; or

$Z$  is  $-C(O)NR_4-$  in which

$R_4$  is hydrogen, alkyl or aralkyl;

$p$  is an integer from 1 to 8;

$Q$  is a bond; or

$Q$  is  $-O(CH_2)_r-$  or  $-S(CH_2)_r-$  in which

$r$  is zero or an integer from 1 to 8; or

$Q$  is  $-C(O)-$  or  $-C(O)NR_5-$  in which

$R_5$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl; or

$Q$  is  $-NR_6-$ ,  $-NR_6C(O)-$ ,  $-NR_6C(O)NR_7-$  or  $-NR_6C(O)O-$  in which

$R_6$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

$R_7$  is hydrogen, alkyl or aralkyl;

W is cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl; or

W and R<sub>5</sub> taken together with the nitrogen atom to which they are attached

form a 3- to 7-membered monocyclic or 8- to 12-membered bicyclic ring, which may be optionally substituted or may contain another heteroatom selected from oxygen, nitrogen and sulfur; or

W and R<sub>7</sub> taken together with the nitrogen atom to which they are attached

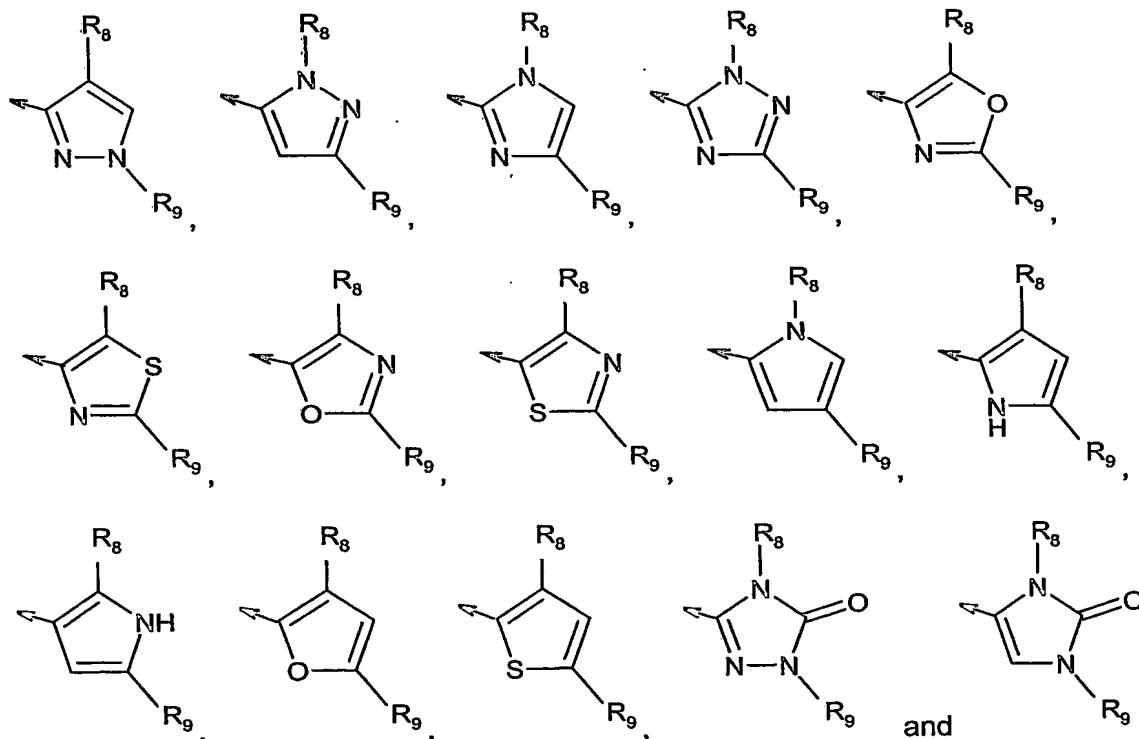
form a 3- to 7-membered monocyclic or 8- to 12-membered bicyclic ring, which may be optionally substituted or may contain another heteroatom selected from oxygen, nitrogen and sulfur;

L is a 5-membered aromatic heterocycle;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

2. A compound according to claim 1, wherein

L is a 5-membered aromatic heterocycle selected from:



wherein

R<sub>8</sub> is optionally substituted alkyl, aralkyl, alkoxy, alkylthio, -C(O)R<sub>10</sub>, -C(O)OR<sub>11</sub> or -C(O)NR<sub>12</sub>R<sub>13</sub> in which

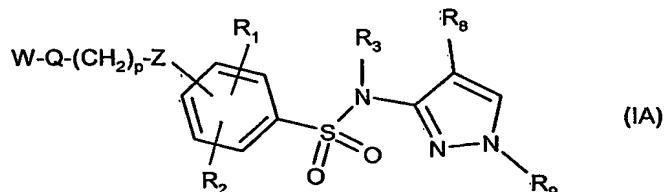
R<sub>10</sub> is optionally substituted lower alkyl;

$R_{11}$ ,  $R_{12}$  and  $R_{13}$  are independently hydrogen or optionally substituted lower alkyl;

$R_9$  is hydrogen, optionally substituted alkyl, aryl or aralkyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

3. A compound according to claim 2 of the formula



wherein

$R_1$  and  $R_2$  are independently hydrogen, halogen, hydroxy, optionally substituted alkyl, alkoxy, alkylthio, aralkyl or heteroaralkyl; or

$R_1$  and  $R_2$  combined together with the carbon atoms to which they are attached form an optionally substituted fused 5- to 6-membered aromatic or heteroaromatic ring provided that  $R_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$R_1$  and  $R_2$  combined are alkylene which together with the carbon atoms to which they are attached form a fused 5- to 7-membered ring provided that  $R_1$  and  $R_2$  are attached to carbon atoms adjacent to each other; or

$R_1$ -C and  $R_2$ -C may independently be replaced by nitrogen;

$R_3$  is hydrogen or optionally substituted lower alkyl;

$Z$  is a bond, O, S, S(O), S(O)<sub>2</sub> or -C(O)-; or

$Z$  is -C(O)NR<sub>4</sub>- in which

$R_4$  is hydrogen, alkyl or aralkyl;

$p$  is an integer from 1 to 8;

$Q$  is a bond; or

$Q$  is -O(CH<sub>2</sub>)<sub>r</sub>- or -S(CH<sub>2</sub>)<sub>r</sub>- in which

$r$  is zero or an integer from 1 to 8; or

$Q$  is -C(O)- or -C(O)NR<sub>5</sub>- in which

$R_5$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl; or

$Q$  is -NR<sub>6</sub>-, -NR<sub>6</sub>C(O)-, -NR<sub>6</sub>C(O)NR<sub>7</sub>- or -NR<sub>6</sub>C(O)O- in which

$R_6$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

$R_7$  is hydrogen, alkyl or aralkyl;

W is cycloalkyl, aryl, heterocycl, aralkyl or heteroaralkyl; or

W and  $R_5$  taken together with the nitrogen atom to which they are attached form a 3- to 7-membered monocyclic or 8- to 12-membered bicyclic ring, which may be optionally substituted or may contain another heteroatom selected from oxygen, nitrogen and sulfur; or

W and  $R_7$  taken together with the nitrogen atom to which they are attached form a 3- to 7-membered monocyclic or 8- to 12-membered bicyclic ring, which may be optionally substituted or may contain another heteroatom selected from oxygen, nitrogen and sulfur;

$R_8$  is optionally substituted alkyl, aralkyl, alkoxy, alkylthio,  $-C(O)R_{10}$ ,  $-C(O)OR_{11}$  or  $-C(O)NR_{12}R_{13}$  in which

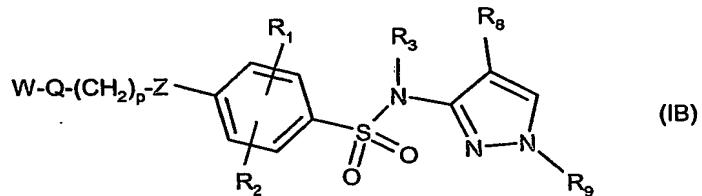
$R_{10}$  is optionally substituted lower alkyl;

$R_{11}$ ,  $R_{12}$  and  $R_{13}$  are independently hydrogen or optionally substituted lower alkyl;

$R_9$  is hydrogen, optionally substituted alkyl, aryl or aralkyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

4. A compound according to claim 3 of the formula



wherein

$R_1$  and  $R_2$  are independently hydrogen, halogen, hydroxy, optionally substituted alkyl, alkoxy, alkylthio, aralkyl or heteroaralkyl;

$R_3$  is hydrogen;

Z is a bond, O, S, S(O), S(O)<sub>2</sub> or  $-C(O)-$ ; or

Z is  $-C(O)NR_4-$  in which

$R_4$  is hydrogen, alkyl or aralkyl;

p is an integer from 1 to 5;

Q is a bond; or

Q is  $-\text{O}(\text{CH}_2)_r-$  or  $-\text{S}(\text{CH}_2)_r-$  in which

r is zero; or

Q is  $-\text{C}(\text{O})-$  or  $-\text{C}(\text{O})\text{NR}_5-$  in which

$\text{R}_5$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl; or

Q is  $-\text{NR}_6-$ ,  $-\text{NR}_6\text{C}(\text{O})-$ ,  $-\text{NR}_6\text{C}(\text{O})\text{NR}_7-$  or  $-\text{NR}_6\text{C}(\text{O})\text{O}-$  in which

$\text{R}_6$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

$\text{R}_7$  is hydrogen, alkyl or aralkyl;

W is cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

$\text{R}_8$  is optionally substituted alkyl, aralkyl, alkoxy, alkylthio,  $-\text{C}(\text{O})\text{R}_{10}$ ,  $-\text{C}(\text{O})\text{OR}_{11}$  or  $-\text{C}(\text{O})\text{NR}_{12}\text{R}_{13}$  in which

$\text{R}_{10}$  is optionally substituted lower alkyl;

$\text{R}_{11}$ ,  $\text{R}_{12}$  and  $\text{R}_{13}$  are independently hydrogen or optionally substituted lower alkyl;

$\text{R}_9$  is hydrogen, optionally substituted alkyl, aryl or aralkyl;

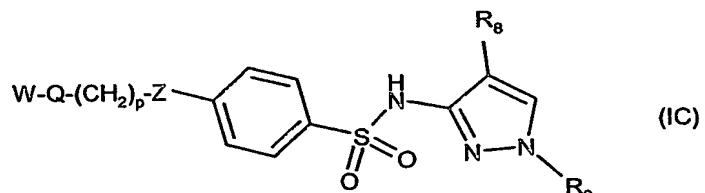
or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

5. A compound according to claim 4, wherein

$\text{R}_1$  and  $\text{R}_2$  are hydrogen;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

6. A compound according to claim 5 of the formula



wherein

Z is a bond, O or S;

p is an integer from 1 to 5;

Q is a bond; or

Q is O or S; or

Q is  $-\text{C}(\text{O})\text{NR}_5-$  in which

$\text{R}_5$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl; or

Q is  $-\text{NR}_6-$ ,  $-\text{NR}_6\text{C}(\text{O})-$ ,  $-\text{NR}_6\text{C}(\text{O})\text{NR}_7-$  or  $-\text{NR}_6\text{C}(\text{O})\text{O}-$  in which

$\text{R}_6$  is hydrogen, optionally substituted alkyl, cycloalkyl, aryl, heteroaryl, aralkyl or heteroaralkyl;

$\text{R}_7$  is hydrogen, alkyl or aralkyl;

W is cycloalkyl, aryl, heterocyclyl, aralkyl or heteroaralkyl;

$\text{R}_8$  is optionally substituted alkyl, aralkyl, alkoxy, alkylthio,  $-\text{C}(\text{O})\text{R}_{10}$ ,  $-\text{C}(\text{O})\text{OR}_{11}$  or  $-\text{C}(\text{O})\text{NR}_{12}\text{R}_{13}$  in which

$\text{R}_{10}$  is optionally substituted lower alkyl;

$\text{R}_{11}$ ,  $\text{R}_{12}$  and  $\text{R}_{13}$  are independently hydrogen or optionally substituted lower alkyl;

$\text{R}_9$  is hydrogen, optionally substituted alkyl, aryl or aralkyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

7. A compound according to claim 6, wherein

$\text{R}_8$  is  $-\text{C}(\text{O})\text{OR}_{11}$  in which  $\text{R}_{11}$  is hydrogen or lower alkyl;

$\text{R}_9$  is lower alkyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

8. A compound according to claim 7, wherein

$\text{R}_8$  is  $-\text{C}(\text{O})\text{OR}_{11}$  in which  $\text{R}_{11}$  is ethyl;

$\text{R}_9$  is ethyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

9. A compound according to claim 7, wherein

$\text{Z}$  is a bond, O or S;

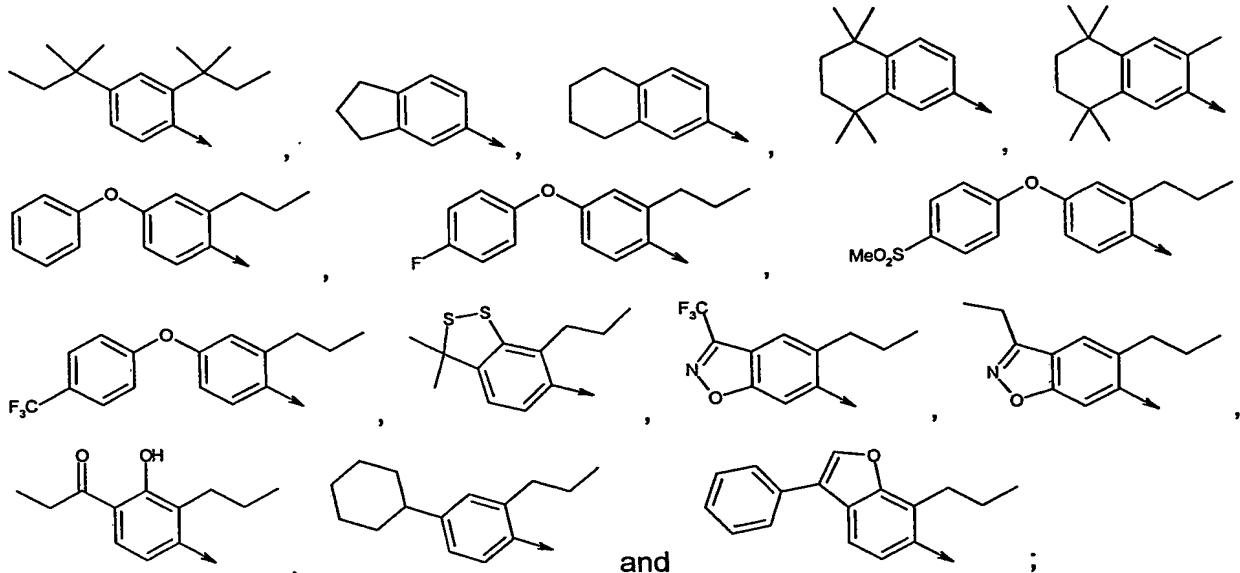
$\text{p}$  is an integer of 2 or 3;

Q is O or S;

W is aryl or heterocyclyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

10. A compound according to claim 9, wherein  
W is selected from the group consisting of:



or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

11. A compound according to claim 7, wherein

Z is O or S;

p is an integer of 1 or 2;

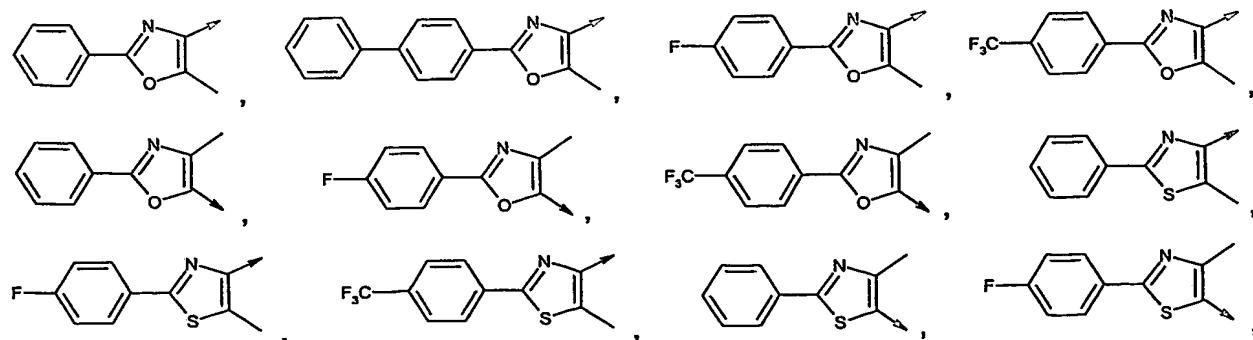
Q is a bond;

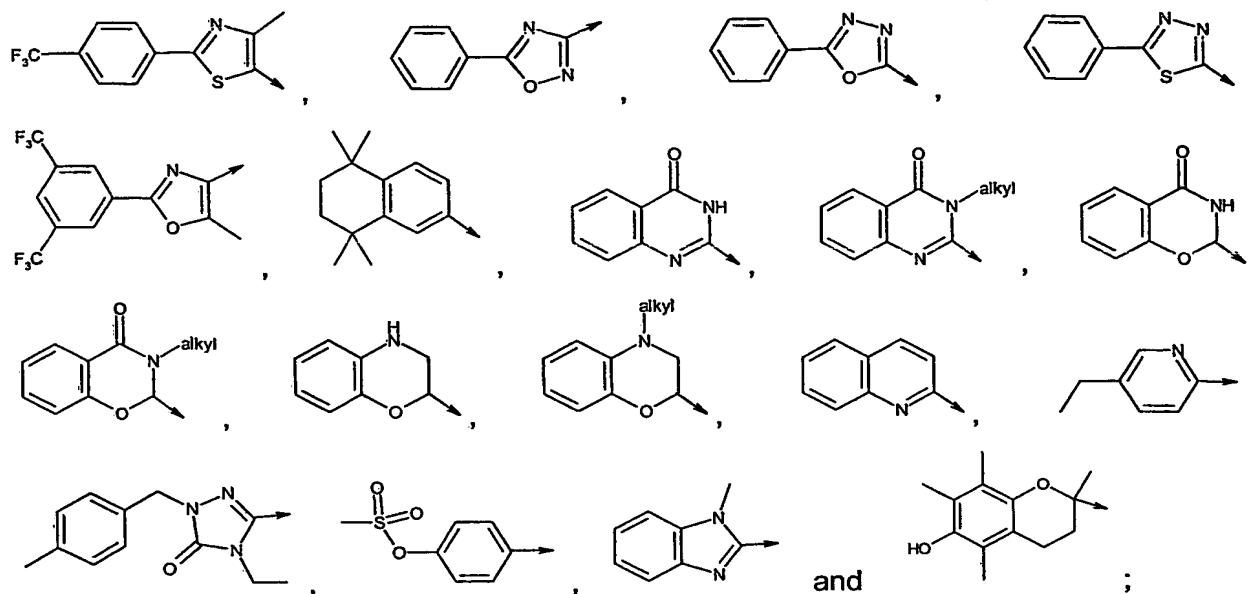
W is aryl or heterocyclyl;

or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

12. A compound according to claim 11, wherein

W is selected from the group consisting of:

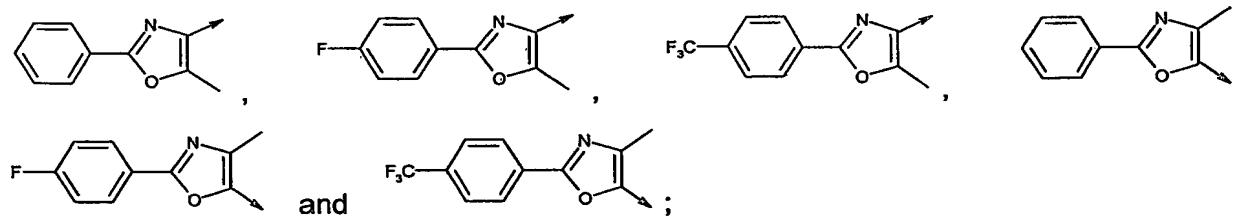




or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

13. A compound according to claim 12, wherein

W is selected from the group consisting of:

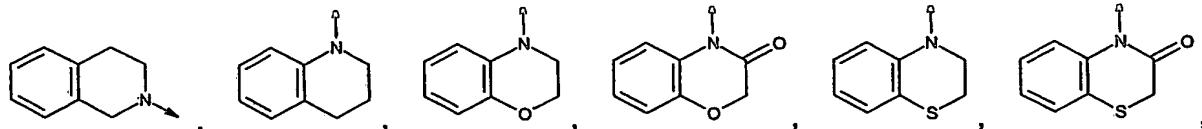


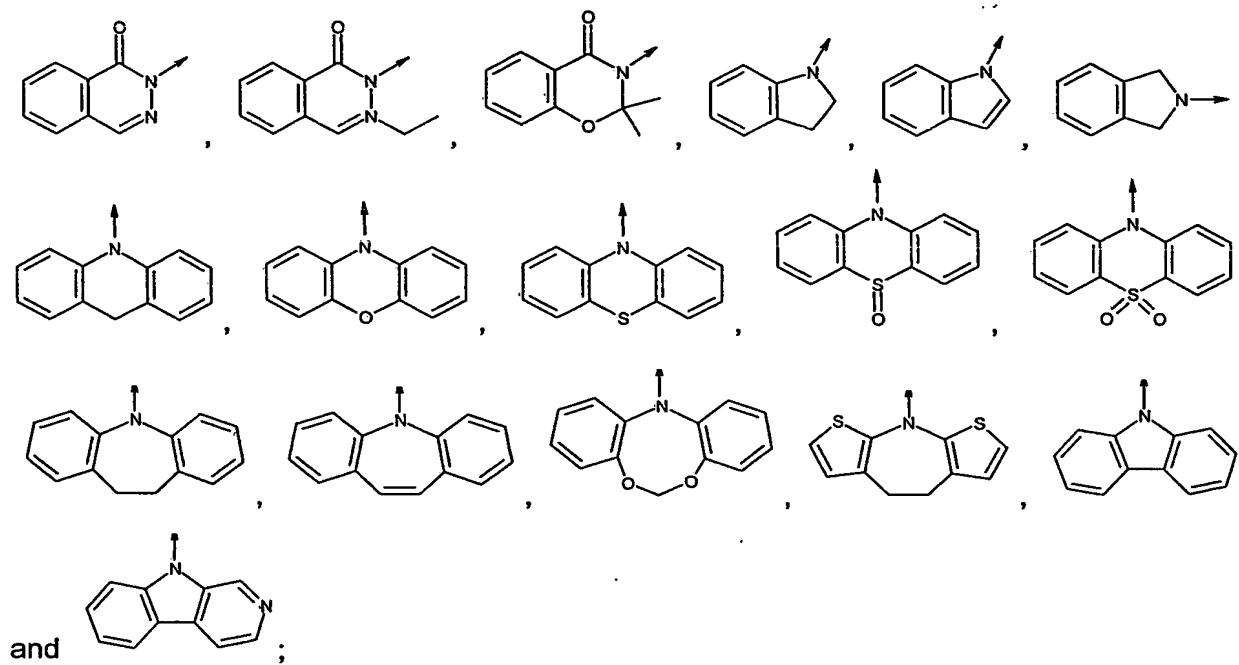
or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

14. A compound according to claim 11, wherein

p is 2;

W is selected from the group consisting of:





or a pharmaceutically acceptable salt thereof; or a prodrug derivative thereof.

15. A compound according to claim 1, which is selected from the group consisting of:

3-[4-(5-Methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid;

1-Benzyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid ethyl ester;

1-Benzyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid;

1-Methyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid ethyl ester;

1-Methyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid;

1-Ethyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid ethyl ester;

1-Ethyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid;

1-Allyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid ethyl ester;

1-Allyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid;

3-[4-[5-Methyl-2-(4-trifluoromethyl-phenyl)-oxazol-4-ylmethoxy]-benzene-sulfonylamino]-1-phenyl-1H-pyrazole-4-carboxylic acid ethyl ester;

3-[4-(5-Methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1-propyl-1H-pyrazole-4-carboxylic acid ethyl ester;

1-Ethyl-3-[4-[5-methyl-2-(4-trifluoromethyl-phenyl)-oxazol-4-ylmethoxy]-benzene-sulfonylamino]-1H-pyrazole-4-carboxylic acid ethyl ester;

1-Ethyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid methylamide;

1-Ethyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid dimethylamide;

1-Ethyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid cyclopropylmethyl-amide;

1-Ethyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid amide;

1-Ethyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid ethylamide;

1-Ethyl-3-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonylamino]-1H-pyrazole-4-carboxylic acid benzylamide;

N-[1-Ethyl-4-(piperidine-1-carbonyl)-1H-pyrazol-3-yl]-4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonamide;

N-(4-Benzoyl-1-ethyl-1H-pyrazol-3-yl)-4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonamide; and

1-Ethyl-3-[methyl-[4-(5-methyl-2-phenyl-oxazol-4-ylmethoxy)-benzenesulfonyl]-amino]-1H-pyrazole-4-carboxylic acid ethyl ester;

or a pharmaceutically acceptable salt thereof.

16. A method for the activation of Peroxisome Proliferator-Activated Receptors (PPARs) which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.

17. A method for the treatment of conditions mediated by PPARs which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
18. The method according to claim 17, which method comprises administering said compound in combination with a therapeutically effective amount of insulin, insulin derivative or mimetic; insulin secretagogue; insulinotropic sulfonylurea receptor ligand; insulin sensitizer; biguanide; alpha-glucosidase inhibitors; GLP-1, GLP-1 analog or mimetic; DPPIV inhibitor; HMG-CoA reductase inhibitor; squalene synthase inhibitor; FXR or LXR ligand; cholestyramine; fibrates; nicotinic acid or aspirin.
19. A method for the treatment of dyslipidemia, hyperlipidemia, hypercholesterolemia, atherosclerosis, hypertriglyceridemia, heart failure, myocardial infarction, vascular diseases, cardiovascular diseases, hypertension, obesity, inflammation, arthritis, cancer, Alzheimer's disease, skin disorders, respiratory diseases, ophthalmic disorders, IBDs, ulcerative colitis, Crohn's disease, type-1 and type-2 diabetes, and Syndrome-X which method comprises administering to a mammal in need thereof a therapeutically effective amount of a compound of claim 1.
20. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with one or more pharmaceutically acceptable carriers.
21. A pharmaceutical composition comprising a therapeutically effective amount of a compound of claim 1 in combination with a therapeutically effective amount of insulin, insulin derivative or mimetic; insulin secretagogue; insulinotropic sulfonylurea receptor ligand; insulin sensitizer; biguanide; alpha-glucosidase inhibitors; GLP-1, GLP-1 analog or mimetic; DPPIV inhibitor; HMG-CoA reductase inhibitor; squalene synthase inhibitor; FXR or LXR ligand; cholestyramine; fibrates; nicotinic acid; or aspirin.
22. A pharmaceutical composition according to claim 20 or 21, for the treatment of dyslipidemia, hyperlipidemia, hypercholesterolemia, atherosclerosis, hypertriglyceridemia, heart failure, myocardial infarction, vascular diseases, cardiovascular diseases, hypertension, obesity, inflammation, arthritis, cancer, Alzheimer's disease, skin disorders, respiratory diseases, ophthalmic disorders, inflammatory bowel diseases, ulcerative colitis, Crohn's disease, type-1 and type-2 diabetes, and Syndrome-X.
23. A pharmaceutical composition according to claim 20 or 21, for use as medicament.

24. Use of a pharmaceutical composition according to claim 20 or 21, for the preparation of a medicament for the treatment of conditions associated with PPAR activity.
25. Use of a compound according to claim 1, for the preparation of a pharmaceutical composition for the treatment of conditions associated with PPAR activity.
26. Use according to claim 24 or 25, wherein the condition associated with PPAR activity is selected from dyslipidemia, hyperlipidemia, hypercholesterolemia, atherosclerosis, hypertriglyceridemia, heart failure, myocardial infarction, vascular diseases, cardiovascular diseases, hypertension, obesity, inflammation, arthritis, cancer, Alzheimer's disease, skin disorders, respiratory diseases, ophthalmic disorders, inflammatory bowel diseases, ulcerative colitis, Crohn's disease, type-1 and type-2 diabetes, and Syndrome-X.
27. A compound according to claim 1, for use as a medicament.